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(12) **United States Patent**
Lin et al.(10) **Patent No.:** **US 7,101,902 B2**(45) **Date of Patent:** **Sep. 5, 2006**(54) **2-GUANIDINYLMIDAZOLIDINEDIONE
COMPOUNDS AND METHODS OF MAKING
AND USING THEREOF**(75) Inventors: **Ai Jeng Lin**, North Potomac, MD (US);
Quan Zhang, Montgomery County,
MD (US); **Jian Guan**, Montgomery
County, MD (US); **Wilbur K. Milhous**,
Germantown, MD (US)(73) Assignee: **The United States of America as
represented by the Secretary of the
Army**, Washington, DC (US)(*) Notice: Subject to any disclaimer, the term of this
patent is extended or adjusted under 35
U.S.C. 154(b) by 0 days.(21) Appl. No.: **10/992,363**(22) Filed: **Nov. 19, 2004**(65) **Prior Publication Data**

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21, 2003.(51) **Int. Cl.****A61K 31/4168** (2006.01)**C07D 233/48** (2006.01)(52) **U.S. Cl.** **514/390**; 548/318.1(58) **Field of Classification Search** 548/318.1;
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See application file for complete search history.

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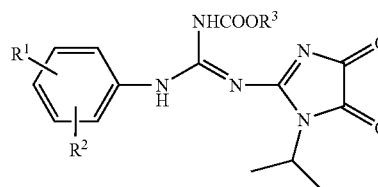
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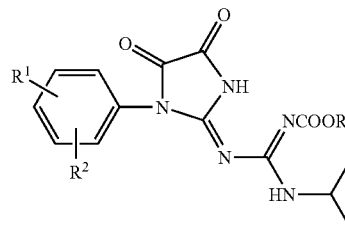
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Primary Examiner—Laura L. Stockton

(74) Attorney, Agent, or Firm—Elizabeth Arwine

(57) **ABSTRACT**Disclosed herein are 2-guanidinylimidazolidinedione com-
pounds having the structural formula A or B

A



B

wherein R¹ and R² are each independently a hydrogen, halogen, alkyl, alkoxy, amino, alkylamino or aralkyl, and wherein R³ is an alkyl, cycloalkyl, heterocycloalkyl, acyl, aryl, heteroaryl, alkylaryl, sulfonyl, alkylsulfonyl, and pharmaceutically acceptable salts thereof, and methods of making thereof. Also disclosed are methods of treating, preventing, or inhibiting malaria with the 2-guanidinylimidazolidinedione compounds, and pharmaceutical compositions comprising the 2-guanidinylimidazolidinedione compounds, and kits.

34 Claims, 1 Drawing Sheet